10635317

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS	12	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:45:35 ON 22 APR 2004

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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FILE 'REGISTRY' ENTERED AT 14:45:46 ON 22 APR 2004
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STRUCTURE FILE UPDATES: 21 APR 2004 HIGHEST RN 676437-01-7
DICTIONARY FILE UPDATES: 21 APR 2004 HIGHEST RN 676437-01-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
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http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10635317c.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 14:46:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:46:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 123 TO ITERATE

100.0% PROCESSED 123 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.02

L3 6 SEA SSS FUL L1

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=> fil caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       155.42     155.63

FILE 'CAPLUS' ENTERED AT 14:46:17 ON 22 APR 2004
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FILE COVERS 1907 - 22 Apr 2004  VOL 140 ISS 17
FILE LAST UPDATED: 21 Apr 2004  (20040421/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3 full
L4            2 L3

=> d l4 1-2 ibib abs hitstr

L4   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:143138  CAPLUS
DOCUMENT NUMBER:          140:199142
TITLE:                    Process for preparation of 5-(4-fluorophenyl)-1-[2-
                          ((2R,4R)-4-hydroxy-6-oxo-tetrahydro-pyran-2-yl)ethyl]-
                          2-isopropyl-4-phenyl-1H-pyrrole-3-carboxylic acid
                          phenylamide
INVENTOR(S):              Nelson, Jade Douglas; Pamment, Michael Gerard
PATENT ASSIGNEE(S):       Warner-Lambert Company Llc, USA
SOURCE:                   PCT Int. Appl., 24 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     WO 2004014896      A1   20040219       WO 2003-IB3322   20030725
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
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MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

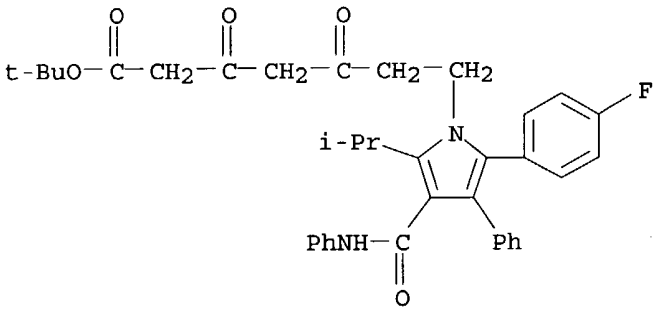
US 2004068121 A1 20040408 US 2003-635317 20030806
PRIORITY APPLN. INFO.: US 2002-401707P P 20020806
OTHER SOURCE(S): CASREACT 140:199142; MARPAT 140:199142
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A method for preparing the title compound, atorvastatin lactone (I) a key intermediate in the synthesis of atorvastatin calcium, via stereoselective reduction was described. Thus, the (3R,5R)-open-acid atorvastatin tert-Bu ester II (X = α-H-β-OH, R = CMe3) was prepared via reduction of 3,5-dioxo-ester II (X = O, R = CMe3) using Et3N, formic acid and [N-[(1R,2R)-2-(amino-κN)-1,2-diphenylethyl]-4-methylbenzenesulfonamidato-κN]chloro[(1,2,3,4,5,6-η)-1,3,5-trimethylbenzene]ruthenium in toluene. Ester II (X = α-H-β-OH, R = CMe3) was then converted to acid II (X = α-H-β-OH, R = H) using KOH in MeOH and H2O followed by lactonization of the acid in toluene using catalytic HCl to give the target lactone I.

IT **442851-38-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the asym. synthesis of 5-(4-fluorophenyl)-1-[2-((2R,4R)-4-hydroxy-6-oxo-tetrahydro-pyran-2-yl)ethyl]-2-isopropyl-4-phenyl-1H-pyrrole-3-carboxylic acid phenylamide, an atorvastatin precursor, via asym. hydrogenation)

RN 442851-38-9 CAPLUS
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-5-(1-methylethyl)-β,δ-dioxo-3-phenyl-4-[(phenylamino)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:539679 CAPLUS
DOCUMENT NUMBER: 137:109204
TITLE: Novel process for the synthesis of 5-(4-fluorophenyl)-1-[2-((2R,4R)-4-hydroxy-6-oxo-

tetrahydropyran-2-yl)-ethyl]-2-isopropyl-4-phenyl-1H-pyrrole-3-carboxylic acid N-phenylamide

INVENTOR(S): Butler, Donald Eugene; Dejong, Randall Lee; Nelson, Jade Douglas; Pamment, Michael Gerard; Stuk, Timothy Lee

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055519	A2	20020718	WO 2001-IB2729	20011227
WO 2002055519	A3	20020919		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002133026	A1	20020919	US 2001-15558	20011217
US 6476235	B2	20021105		
BR 2001016739	A	20030930	BR 2001-16739	20011227
EP 1353917	A2	20031022	EP 2001-273081	20011227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6545153	B1	20030408	US 2002-198682	20020718
US 2003195353	A1	20031016	US 2003-348727	20030121

PRIORITY APPLN. INFO.:

US 2001-260505P	P	20010109
US 2001-15558	A3	20011217
WO 2001-IB2729	W	20011227
US 2002-198682	A3	20020718

GI

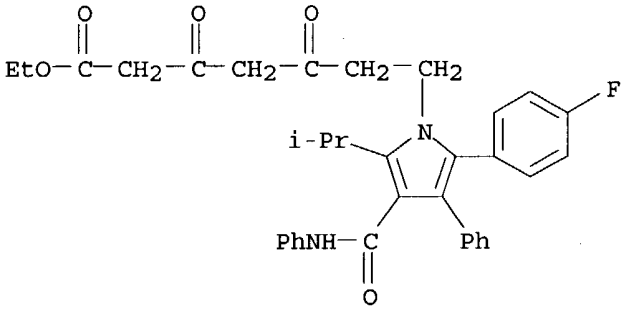
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved process for the preparation of 5-(4-fluorophenyl)-1-[2-((2R,4R)-4-hydroxy-6-oxo-tetrahydropyran-2-yl)ethyl]-2-isopropyl-4-phenyl-1H-pyrrole-3-carboxylic acid phenylamide (I) was disclosed. Morpholine was condensed with Me cyanoacetate (MTBE, 55°, 12-18 h), the product reduced to the amine (MeOH, HCl, H2-Pt/C @ 50 psi, 24 h), converted from the hydrochloride to the phenylacetate salt, which was condensed with 2-[2-(4-fluorophenyl)-2-oxo-1-phenylethyl]-4-methyl-3-oxopentanoic acid phenylamide with removal of water (THF, 4-8 mesh 3Å ms, reflux, 24 h) to afford solid II. Et acetoacetate in THF was reacted with NaH at -20° (held at -10° 45 min) followed by n-BuLi at -18° (held at -4° for 90 min) followed by addition of II at -25° and held at -23° for 20 h yielding, after aqueous work-up, A-(CH2)2COCH2COCH2CO2Et (III). Reduction of III with a RuCl2(DMF)n[(+)-Cl-MeO-BIPHEP] complex (MeOH, 1M HBr, H2 @ 50 psi, 65°) to afford

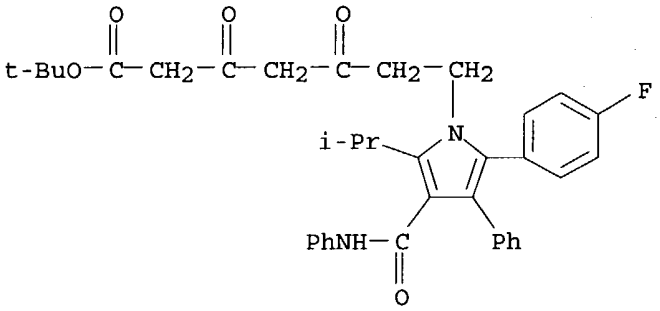
β,δ-dihydroxy ester IV in a 1:1.5 syn:anti with a ≥98% enantiomeric excess at the δ-hydroxy position in favor of the (R)-configuration (4 diastereomers separated by HPLC; Chiralcel-OD-H). Cyclization/elimination of IV (MeOHaq, KOH, 85°; PhMe, HCl; Ac2O, NEt3, DMAP) provides the 6-oxo-3,6-2H-pyran V (98% ee). Treatment of V with BnOH, NaOH at -10° for 19 h followed by hydrogenation (PhMe, 20% Pd(OH)2/C, 50 psi, 50°, 16 h) provided VI as a white solid (anti:syn 99:1, enantiomeric excess at the pyran C5 of 99% favoring the (R)-configuration). Alternate methods for several steps were provided. Utilization of VI for the preparation of atorvastatin calcium was also exemplified. Reduction of β,δ-diketo esters reported herein is more stereoselective, can be executed at lower pressures and is more amendable to large-scale manufacturing than prior art examples.

IT **442851-37-8P 442851-38-9P 442851-39-0P 442851-40-3P 442851-42-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; stereoselective reduction of a β,δ-diketo ester leading to 5-(4-fluorophenyl)-1-[2-((2R,4R)-4-hydroxy-6-oxo-tetrahydropyran-2-yl)-ethyl]-2-iso-Pr-4-Ph-1H-pyrrole-3-carboxylic acid N-phenylamide)

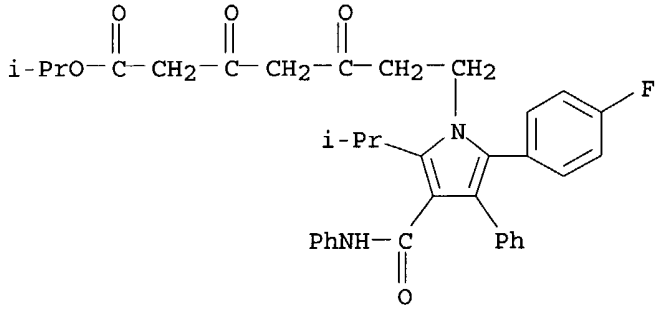
RN 442851-37-8 CAPLUS
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-5-(1-methylethyl)-β,δ-dioxo-3-phenyl-4-[(phenylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



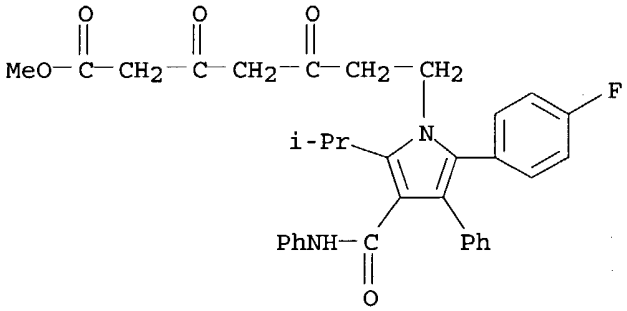
RN 442851-38-9 CAPLUS
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-5-(1-methylethyl)-β,δ-dioxo-3-phenyl-4-[(phenylamino)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



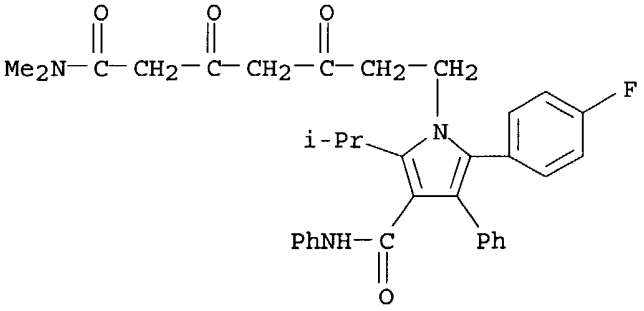
RN 442851-39-0 CAPLUS
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-5-(1-methylethyl)-β,δ-dioxo-3-phenyl-4-[(phenylamino)carbonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 442851-40-3 CAPLUS
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-5-(1-methylethyl)-β,δ-dioxo-3-phenyl-4-[(phenylamino)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 442851-42-5 CAPLUS
CN 1H-Pyrrole-1-heptanamide, 2-(4-fluorophenyl)-N,N-dimethyl-5-(1-methylethyl)-β,δ-dioxo-3-phenyl-4-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)



IT **442851-34-5**
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; stereoselective reduction of a β,δ-diketo ester leading to 5-(4-fluorophenyl)-1-[2-((2R,4R)-4-hydroxy-6-oxo-tetrahydropyran-2-yl)-ethyl]-2-iso-Pr-4-Ph-1H-pyrrole-3-carboxylic acid N-phenylamide)

RN 442851-34-5 CAPLUS

CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-5-(1-methylethyl)-β,δ-dioxo-3-phenyl-4-[(phenylamino)carbonyl]-, ethyl ester, sodium salt (9CI) (CA INDEX NAME)